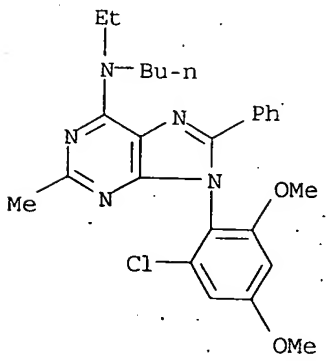
L4 ANSWER 7 OF 19 CAPLUS COPYRIGHT 2003 ACS
AN 1999:112311 CAPLUS
DN 130:209672
TI Synthesis, corticotropin-releasing factor receptor binding affinity, and pharmacokinetic properties of triazolo-, imidazo-, and pyrrolopyrimidines and -pyridines

AU Chorvat, Robert J.; Bakthavatchalam, Rajagopal; Beck, James P.; Gilligan, Paul J.; Wilde, Richard G.; Cocuzza, Anthony J.; Hobbs, Frank W.; Cheeseman, Robert S.; Curry, Matthew; Rescinito, Joseph P.; Krenitsky, Paul; Chidester, Dennis; Yarem, Jerry A.; Klaczkiewicz, John D.; Hodge, C. Nicholas; Aldrich, Paul E.; Wasserman, Zelda R.; Fernandez, Christine H.; Zaczek, Robert; Fitzgerald, Lawrence W.; Huang, Shiew-Mei; Shen, Helen L.; Wong, Y. Nancy; Chien, Ben M.; Quon, Check Y.; Arvanitis, Argyrios
CS Departments of Chemical and Physical Sciences and of Biological Sciences, DuPont Pharmaceuticals Company, Wilmington, DE, 19880-0500, USA

SO Journal of Medicinal Chemistry (1999), 42(5), 833-848
CODEN: JMCMAR; ISSN: 0022-2623
PB American Chemical Society
DT Journal
LA English

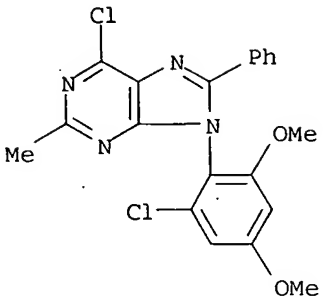
IT **220953-13-9P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(CRF receptor binding affinity of triazolo-, imidazo-, and pyrrolopyrimidines and -pyridines prepd. from amines, alcs., pyrimidine and pyridine derivs.)

RN 220953-13-9 CAPLUS
CN 9H-Purin-6-amine, N-butyl-9-(2-chloro-4,6-dimethoxyphenyl)-N-ethyl-2-methyl-8-phenyl- (9CI) (CA INDEX NAME)

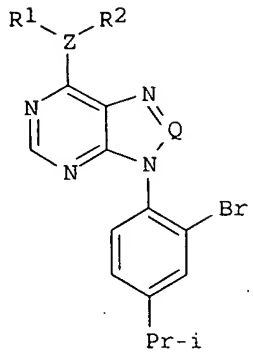



IT **220952-86-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(CRF receptor binding affinity of triazolo-, imidazo-, and pyrrolopyrimidines and -pyridines prepd. from amines, alcs., pyrimidine and pyridine derivs.)

RN 220952-86-3 CAPLUS
CN 9H-Purine, 6-chloro-9-(2-chloro-4,6-dimethoxyphenyl)-2-methyl-8-phenyl- (9CI) (CA INDEX NAME)




GI



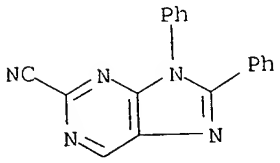

I

AB The synthesis and CRF receptor binding affinities of several new series of N-aryltriazolo- and -imidazopyrimidines and -pyridines, e.g., I (R1 = n-Bu, CHEt2, CHEtCH2OH, etc., R2 = Et, H, Me, etc., Q = N, CH, CMe, CCF3, Z = N, O), are described. These cyclized systems were prepd. from appropriately substituted diaminopyrimidines or -pyridines by nitrous acid, orthoester, or acyl halide treatment. Variations of amino (ether) pendants and arom. substituents have defined the structure-activity relationships of these series and resulted in the identification of a variety of high-affinity agents (Ki's < 10 nM). On the basis of this property and lipophilicity differences, six of these compds. were initially chosen for rat pharmacokinetic (PK) studies. Good oral bioavailability, high plasma levels, and duration of four of these compds. prompted further PK studies in the dog following both i.v. and oral routes of administration. Results from this work indicated I [R1 = R2 = (CH2)OMe, Q = Z = N; R1 CHEtCH2OMe, R2 = H, Q = Z = N] had properties believe to be necessary for a potential therapeutic agent, and I [R1 = R2 = (CH2)OMe, Q = Z = N] has been selected for further pharmacol. studies that will be reported in due course.

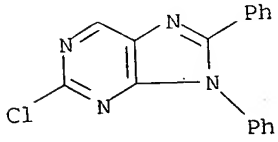
RE.CNT 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD ... ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 8 OF 19 CAPLUS COPYRIGHT 2003 ACS
AN 1997:757616 CAPLUS
DN 128:58662

L4 ANSWER 15 OF 19 CAPLUS COPYRIGHT 2003 ACS
AN 1990:514920 CAPLUS
DN 113:114920
TI Purines. IX. Reaction of 9-phenyl-9H-purine-2-carbonitriles with Grignard reagents
AU Tanji, Kenichi; Higashino, Takeo
CS Sch. Pharm. Sci., Univ. Shizuoka, Shizuoka, 422, Japan
SO Heterocycles (1990), 30(1, Spec. Issue), 435-40
CODEN: HTCYAM; ISSN: 0385-5414
DT Journal
LA English
OS CASREACT 113:114920
IT **129006-37-7P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and reaction of, with Grignard reagents)
RN 129006-37-7 CAPLUS
CN 9H-Purine-2-carbonitrile, 8,9-diphenyl- (9CI) (CA INDEX NAME)

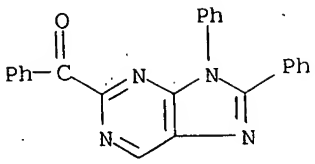



IT **129006-33-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and reaction of, with cyanide)
RN 129006-33-3 CAPLUS
CN 9H-Purine, 2-chloro-8,9-diphenyl- (9CI) (CA INDEX NAME)

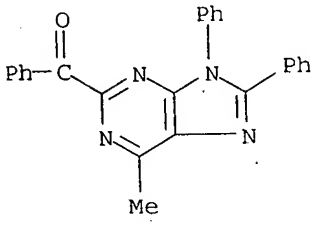



IT **129006-43-5P 129006-44-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 129006-43-5 CAPLUS
CN Methanone, (8,9-diphenyl-9H-purin-2-yl)phenyl- (9CI) (CA INDEX NAME)

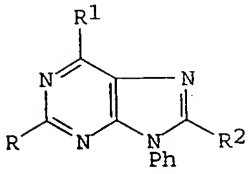
Patel <6/21/2003>



RN 129006-44-6 CAPLUS
CN Methanone, (6-methyl-8,9-diphenyl-9H-purin-2-yl)phenyl- (9CI) (CA INDEX NAME)



GI



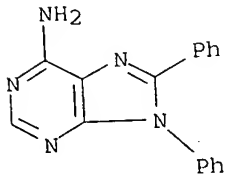
I

AB The Pd-catalyzed cross-coupling reaction of chlorophenylpurines I (R = Cl, R1 = H, Me, R2 = H, Ph; R = H, Me, R1 = Cl, R2 = H, Ph) with KCN proceeded to give purinecarbonitriles I (R = cyano, R1 = H, Me, R2 = H, Ph; R = H, Me, R1 = cyano, R2 = H, Ph). The conversion of I (R = cyano) into I (R = Ac, COEt, Bz) was achieved by treatment with Grignard reagents.

L4 ANSWER 16 OF 19 CAPLUS COPYRIGHT 2003 ACS
AN 1990:458784 CAPLUS
DN 113:58784
TI Purine derivatives as competitive inhibitors of human erythrocyte membrane phosphatidylinositol 4-kinase
AU Young, Rodney C.; Jones, Martin; Milliner, Kevin J.; Rana, Kishore K.; Ward, John G.
CS Smith Kline and French Res. Ltd., Welwyn/Hertfordshire, AL6 9AR, UK
SO Journal of Medicinal Chemistry (1990), 33(8), 2073-80
CODEN: JMCMAR; ISSN: 0022-2623
DT Journal
LA English
OS CASREACT 113:58784
IT **127820-25-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and phosphatidylinositol 4-kinase inhibition by)

Patel <6/21/2003>

RN 127820-25-1 CAPLUS
CN 9H-Purin-6-amine, 8,9-diphenyl- (9CI) (CA INDEX NAME)



AB The possibility of deriving a potent, cell-penetrating inhibitor of human erythrocyte phosphatidylinositol 4-kinase, competitive with respect to ATP, has been investigated in a series of purine derivs. and analogs. The purine nucleus is not essential for binding to the ATP site but offers the advantage of synthetic accessibility to its derivs. The optimum substitution pattern in purine consisted of an electron-releasing substituent in the 6-position (e.g. amino, as in adenine) and a compact, lipophilic group in either the 8-position or, preferably, the 9-position, suggesting the importance of the N-1 lone pair and hydrophobic contributions of the 8- and 9-substituents to binding. The most potent inhibitor synthesized was 9-cyclohexyladenine, which has an apparent Ki value of 3.7 .mu.M.